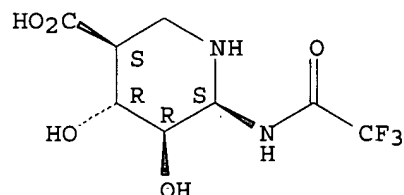
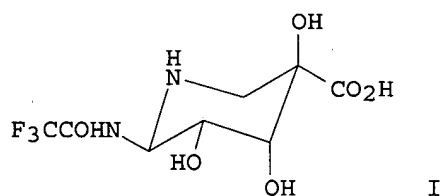


AN 2002:318083 CAPLUS
 DN 137:185744
 TI Synthesis and investigation of L-fuco- and D-glucurono-azafagomine
 AU Jensen, Henrik H.; Jensen, Astrid; Hazell, Rita G.; Bols, Mikael
 CS Department of Chemistry, University of Aarhus, Aarhus, DK-8000, Den.
 SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (9),
 1190-1198
 CODEN: JCSPCE; ISSN: 1472-7781
 PB Royal Society of Chemistry
 DT Journal
 LA English
 OS CASREACT 137:185744
 AB The new azasugars (3S,4R,5S)-4,5-dihydroxy-3-methylhexahydropyridazine (I) and (3S,4R,5R)-4,5-dihydroxyhexahydropyridazine-3-carboxylic acid (II) were synthesized. I was made from D-ribose in ten steps in a synthesis that involved partial 2,3-protection, deoxygenation of the 5-OH, reductive amination with tert-Bu carbazate, mesylation, cyclization and deprotection. II was made from L-xylose in twelve steps in a related way starting with 2,3,5-protection, reductive amination with tert-Bu carbazate, mesylation and cyclization. ~~The key step in this synthesis is selective debenzylation of a primary benzyl ether with acetyl bromide to produce a partially benzylated hexahydropyridazine that was oxidized to the acid and deprotected. The 3-, 4- and 6-deoxy analogs of azafagomine, (3R,4R,5R)-4,5-dihydroxy-3-hydroxymethylhexahydropyridazine, were also made. I and II were shown to be potent .alpha.-fucosidase and .beta.-glucuronidase inhibitors, resp.~~
 IT 449729-70-8
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (synthesis and glycosidase inhibition studies of L-fuco- and D-glucurono-azafagomine derivs.)
 RN 449729-70-8 CAPLUS
 CN 3-Piperidinecarboxylic acid, 4,5-dihydroxy-6-[(trifluoroacetyl)amino]-, (3S,4R,5R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AN 1992:571897 CAPLUS
 DN 117:171897
 TI Totally synthetic analogs of siastatin B. II. Optically active piperidine derivatives having trifluoroacetamide and hydroxyacetamide groups at C-2
 AU Nishimura, Yoshio; Kudo, Toshiaki; Kondo, Shinichi; Takeuchi, Tomio
 CS Inst. Microb. Chem., Tokyo, 141, Japan
 SO Journal of Antibiotics (1992), 45(6), 963-70
 CODEN: JANTAJ; ISSN: 0021-8820
 DT Journal
 LA English
 GI



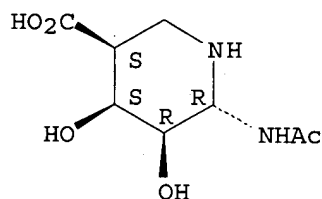
AB Siastatin B analogs, optically active 2-(trifluoroacetamido)-3,4,5-trihydroxypiperidines having nitromethyl, aminomethyl, and carboxyl branched groups at C-5, and (+)-(2R,3R,4R,5R)-5-(aminomethyl)-3,4,5-trihydroxy-2-(hydroxyacetamido)piperidine were synthetically obtained from D-ribo-1,4-lactone. Some analogs have inhibitory activity against some glycosidases, and (+)-(2R,3R,4R,5R)-2-(trifluoroacetamido)-3,4,5-trihydroxypiperidine-5-carboxylic acid (I) showed a marked inhibitory activity against .beta.-glucuronidase.

IT **143625-46-1P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and glycosidase-inhibiting activity of)

RN 143625-46-1 CAPLUS
 CN 3-Piperidinecarboxylic acid, 3,4,5-trihydroxy-6-[(trifluoroacetyl)amino]-, monohydrochloride, [3R-(3.alpha.,4.beta.,5.beta.,6.alpha.)]- (9CI)

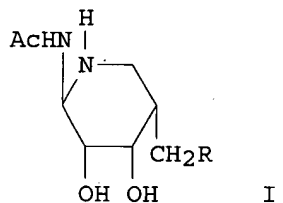
AN 1993:81304 CAPLUS
 DN 118:81304
 TI Syntheses and activities of N-substituted derivatives of siastatin B
 AU Kudo, Toshiaki; Nishimura, Yoshio; Kondo, Shinichi; Takeuchi, Tomio
 CS Inst. Microbial Chem., Tokyo, 141, Japan
 SO Journal of Antibiotics (1992), 45(10), 1662-8
 CODEN: JANTAJ; ISSN: 0021-8820
 DT Journal
 LA English
 AB N-Substituted derivs. of siastatin B have been obtained by a chem.
 modification. Some derivs. showed potent inhibitory activities against
~~Streptococcus~~ sp. and Clostridium perfringens neuraminidases.
 IT **54795-58-3P**, Siastatin B
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (N-substituted derivs., prepn. and N-neuraminidase inhibition by)
 RN 54795-58-3 CAPLUS
 CN 3-Piperidinecarboxylic acid, 6-(acetylamino)-4,5-dihydroxy-,
 (3S,4S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



AN 1997:448095 CAPLUS
 DN 127:66098
 TI Preparation of 3-hydroxymethyl-3-decarboxysiastatin B derivatives as glycosidase inhibitors
 IN Nishimura, Yoshio; Sato, Takahiko; Kudo, Toshiaki; Kondo, Shinichi; Takeuchi, Tomio
 PA Microbiochemical Research Foundation, Japan
 SO Jpn. Kokai Tokkyo Koho, 16 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09157254	A2	19970617	JP 1995-314562	19951201
OS	CASREACT 127:66098; MARPAT 127:66098				
GI					



AB The title compds. (I; R = OH, MeS, MeSO, NH₂, CONH₂) are prepd. I, possessing glycosidase inhibitory activity, are useful as cancer metastasis suppressors. Thus, siastatin deriv. (II) (prepn. given) was reduced by NaBH₄ in CF₃CH₂OH and treated with HCl in dioxane to give I (R = OH), which showed IC₅₀ of 0.042 and 0.27 .mu.g/mL against .beta.-N-acetyl-glucosaminidase and .alpha.-N-acetyl-galactosaminidase resp.

IT **176246-06-3P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 3-hydroxymethyl-3-decarboxysiastatin derivs. as glycosidase inhibitors)

RN 176246-06-3 CAPLUS
 CN Acetamide, N-[(2R,3R,4S,5R)-3,4-dihydroxy-5-(hydroxymethyl)-2-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

